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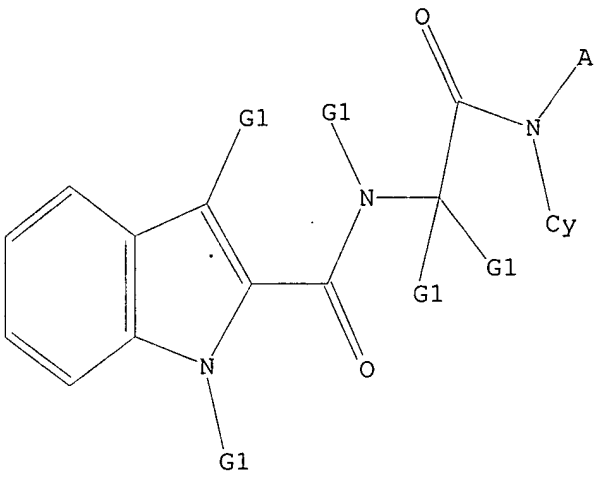

R' = substituent w/ -OH

G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
FULL SEARCH INITIATED 10:56:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    94217 TO ITERATE

100.0% PROCESSED     94217 ITERATIONS                    136 ANSWERS
SEARCH TIME: 00.00.11

L2          136 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             166.94     167.15

FILE 'CAPLUS' ENTERED AT 10:57:05 ON 24 MAR 2006
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FILE COVERS 1907 - 24 Mar 2006  VOL 144 ISS 14
FILE LAST UPDATED: 23 Mar 2006  (20060323/ED)

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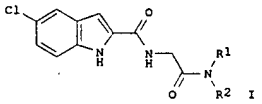
=> s l2
L3 14 L2

=> d ibib abs hitstr 1-14

14 Docs

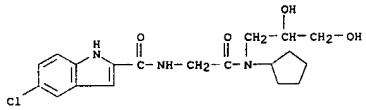
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1124988 CAPLUS
DOCUMENT NUMBER: 142:197810
TITLE: 5-Chloroindoloyl glycine amide inhibitors of glycogen phosphorylase: synthesis, in vitro, in vivo, and X-ray crystallographic characterization
AUTHOR(S): Wright, Stephen W.; Rath, Virginia L.; Genereux, Paul E.; Hageman, David L.; Levy, Carolyn B.; McClure, Lester D.; McCoid, Scott C.; McPherson, R. Kirk; Schelhorn, Teresa M.; Wilder, Donald E.; Zavadoski, William J.; Gibbs, E. Michael; Treadway, Judith L.
CORPORATE SOURCE: Pfizer Global Research and Development, Groton, CT, 06340, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(2), 459-465
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:197810
GI



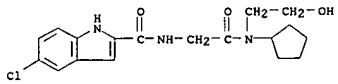
AB The synthesis and in vitro and in vivo biol. characterization of a series of achiral 5-chloroindoloyl glycine amides I [R1 = Me, cyclopentyl, HOCH2CH2; R2 = Me2CHCH2, Ph, cycloheptyl, H2N(CH2)3, etc.] as inhibitors of human liver glycogen phosphorylase A are described. Improved potency over previously reported compds. in cellular and in vivo assays was observed
The allosteric binding site of these compds. was shown by X-ray crystallog. to be the same as that reported previously for 5-chloroindoloyl norstatine amides.

IT **839701-52-9D**, complex with glycogen phosphorylase A
RL: PRP (Properties)
(crystal structure; preparation of N-carbamoylmethyl indolecarboxamides as
human liver glycogen phosphorylase inhibitors)

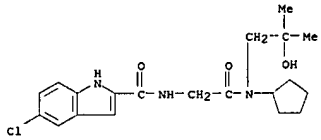
RN 839701-52-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



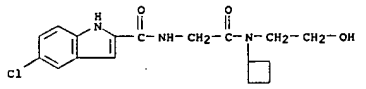
RN 839701-52-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



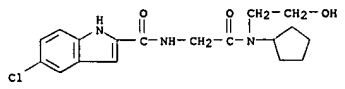
RN 839701-63-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxy-2-methylpropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-33-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclobutyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

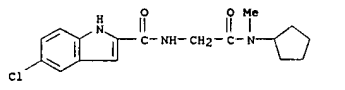


RN 839702-45-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)(tetrahydro-2H-pyran-4-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

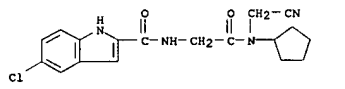


IT **839700-98-0P 839701-46-1P 839701-50-7P**
839701-52-9P 839701-63-2P 839702-33-9P
839702-45-3P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-carbamoylmethyl indolecarboxamides as human liver glycogen phosphorylase inhibitors)

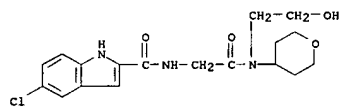
RN 839700-98-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-46-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(cyanomethyl)cyclopentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

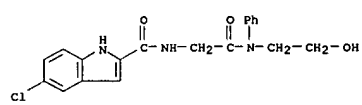


RN 839701-50-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2,3-dihydroxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



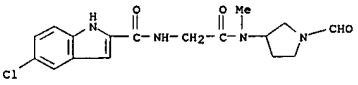
IT **599177-73-8P 839700-96-8P 839701-02-9P**
839701-04-1P 839701-06-3P 839701-10-9P
839701-12-1P 839701-14-3P 839701-16-5P
839701-20-1P 839701-24-5P 839701-36-9P
839701-38-1P 839701-40-5P 839701-44-9P
839701-48-3P 839701-54-1P 839701-56-3P
839701-58-5P 839701-59-6P 839701-61-0P
839701-65-4P 839701-67-6P 839701-69-8P
839701-71-2P 839701-73-4P 839701-75-6P
839701-76-7P 839701-78-9P 839701-80-3P
839701-82-5P 839701-84-7P 839701-88-1P
839701-90-5P 839701-92-7P 839701-94-9P
839701-96-1P 839701-98-3P 839702-00-0P
839702-02-2P 839702-04-4P 839702-06-6P
839702-08-8P 839702-10-2P 839702-12-4P
839702-14-6P 839702-16-8P 839702-18-0P
839702-20-4P 839702-22-6P 839702-24-8P
839702-26-0P 839702-28-2P 839702-41-9P
839702-53-3P 839702-61-3P 839702-65-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-carbamoylmethyl indolecarboxamides as human liver glycogen phosphorylase inhibitors)

RN 599177-73-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)phenylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

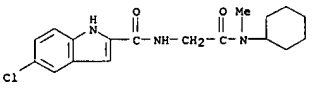


RN 839700-96-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(1-formyl-3-pyrrolidinyl)methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

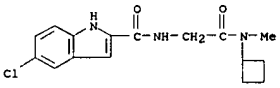
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



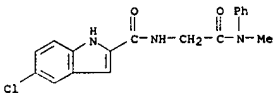
RN 839701-02-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclohexylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-04-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclobutylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

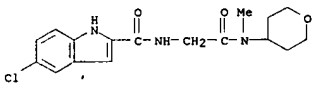


RN 839701-06-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methylphenylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

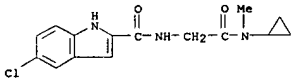


RN 839701-10-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cycloheptylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

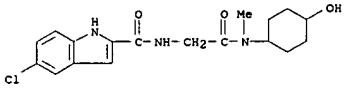
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



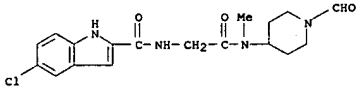
RN 839701-24-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopropylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-36-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(4-hydroxycyclohexyl)methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

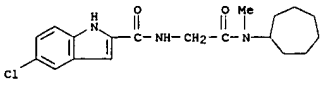


RN 839701-38-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(1-formyl-4-piperidinyl)methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

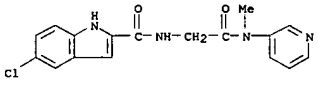


RN 839701-40-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[methyl(1-methyl-3-pyrrolidinyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

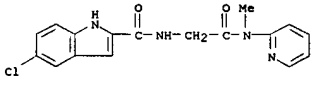
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



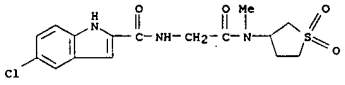
RN 839701-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methyl-3-pyridinylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-14-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methyl-2-pyridinylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

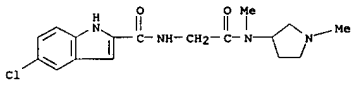


RN 839701-16-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[methyl(tetrahydro-1,1-dioxido-3-thienyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

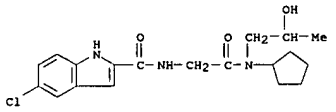


RN 839701-20-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[methyl(tetrahydro-2H-pyran-4-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

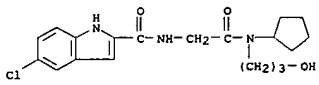
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



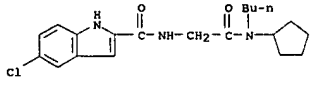
RN 839701-44-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-48-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(3-hydroxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

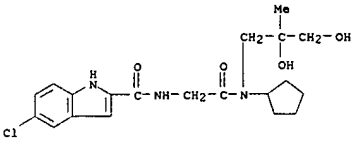


RN 839701-54-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-(butylcyclopentylamino)-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

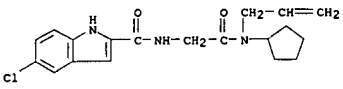


RN 839701-56-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2,3-dihydroxy-2-methylpropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

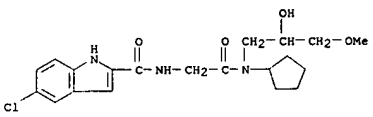
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



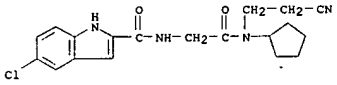
RN 839701-58-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-2-propenylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-59-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxy-3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

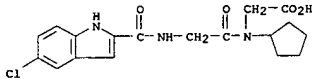


RN 839701-61-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-cyanoethyl)cyclopentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

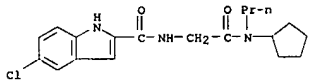


L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

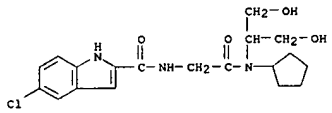
RN 839701-73-4 CAPLUS
CN Glycine, 5-chloro-1H-indole-2-carbonylglycyl-N-cyclopentyl- (9CI) (CA INDEX NAME)



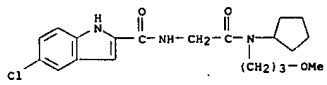
RN 839701-75-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentylpropylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-76-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



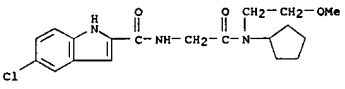
RN 839701-78-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



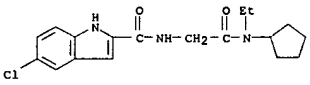
RN 839701-80-3 CAPLUS
CN Glycinamide, 5-chloro-1H-indole-2-carbonylglycyl-N2-cyclopentyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

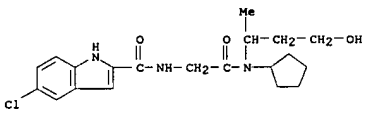
RN 839701-65-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-methoxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



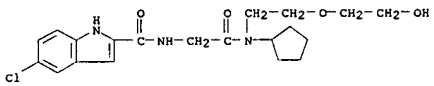
RN 839701-67-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentylethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



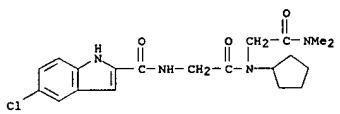
RN 839701-69-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(3-hydroxy-1-methylpropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



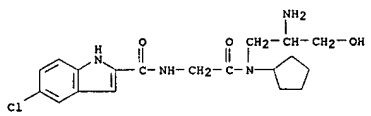
RN 839701-71-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(2-hydroxyethoxy)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



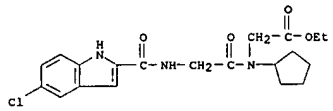
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



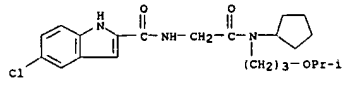
RN 839701-82-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-amino-3-hydroxypropyl)cyclopentylamino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)



RN 839701-84-7 CAPLUS
CN Glycine, 5-chloro-1H-indole-2-carbonylglycyl-N-cyclopentyl-, ethyl ester (9CI) (CA INDEX NAME)

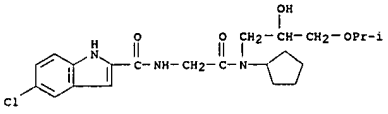


RN 839701-88-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[3-(1-methylethoxy)propyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

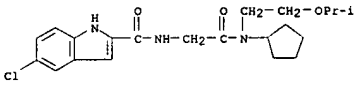


RN 839701-90-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-hydroxy-3-(1-methylethoxy)propyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

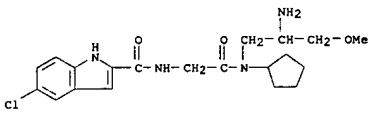
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



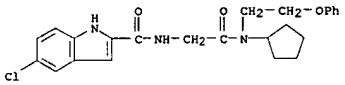
RN 839701-92-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



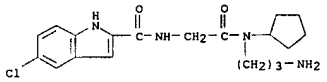
RN 839701-94-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-amino-3-methoxypropyl)cyclopentylamino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)



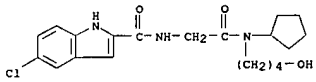
RN 839701-96-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-phenoxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



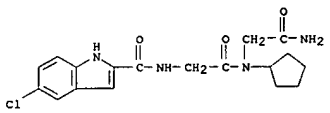
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



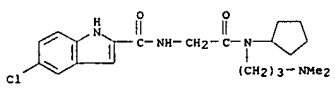
RN 839702-06-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(4-hydroxybutyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-08-8 CAPLUS
CN Glycinamide, 5-chloro-1H-indole-2-carbonylglycyl-N2-cyclopentyl- (9CI) (CA INDEX NAME)



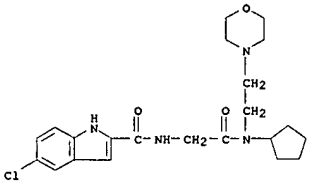
RN 839702-10-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[3-(dimethylamino)propyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



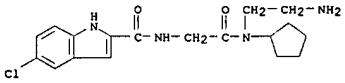
RN 839702-12-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(dimethylamino)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

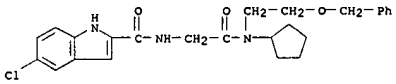
RN 839701-98-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(4-morpholinyl)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-00-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-aminoethyl)cyclopentylamino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

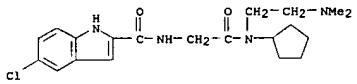


RN 839702-02-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(phenylmethoxy)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

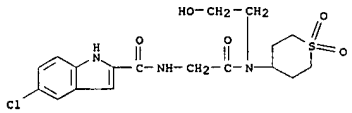


RN 839702-04-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(3-aminopropyl)cyclopentylamino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

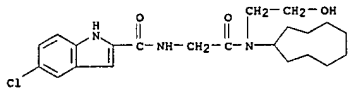
L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 839702-14-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-16-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclononyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-18-0 CAPLUS
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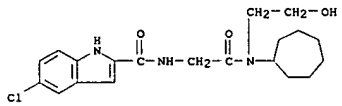
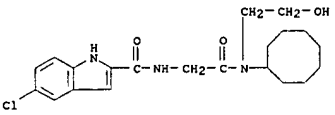
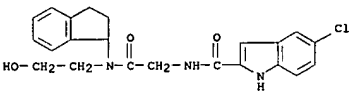


RN 839702-20-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclooctyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 839702-22-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2,3-dihydro-1H-inden-1-yl)(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-24-8 CAPLUS
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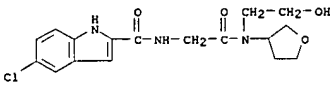

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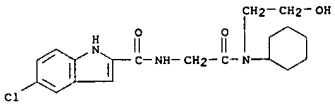
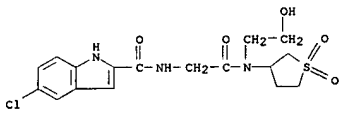
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclohexyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-28-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclodecyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

dioxido-3-thienyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



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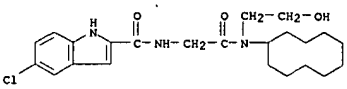
FORMAT

L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 839702-41-9 CAPLUS
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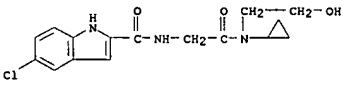
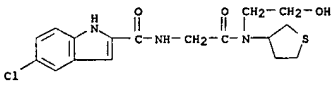


RN 839702-53-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)(tetrahydro-3-thienyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-61-3 CAPLUS
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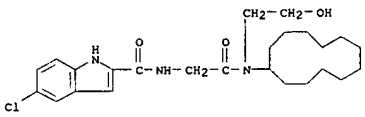

RN 839702-65-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)(tetrahydro-1,1-

L3 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:719448 CAPLUS
DOCUMENT NUMBER: 139:245896
TITLE: Preparation of N-carbamoylmethylindolecarboxamides as glycogen phosphorylase inhibitors
INVENTOR(S): Morley, Andrew David
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074485	A2	20030912	WO 2003-GB936	20030304
WO 2003074485	A3	20031204		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1483239	A2	20041208	EP 2003-708334	20030304
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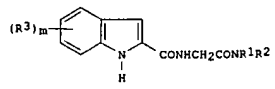
US 2005159472	A1	20050721	US 2003-506592	20030304
JP 2005526054	T2	20050902	JP 2003-572955	20030304
PRIORITY APPLN. INFO.:			GB 2002-5166	A 20020306
			WO 2003-GB936	W 20030304

OTHER SOURCE(S): MARPAT 139:245896
GI



I

Instant App

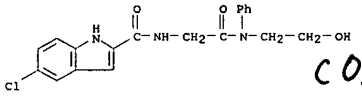
AB Title compds. I [R1 = alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, heterocyclyl, heterocyclylalkyl, heterocyclyloxy, heterocyclylalkoxy, each substituted by 1-3 OH; R2 = (un)substituted Ph, heteroaryl; R3 = H, halo, NO2, CN, OH, CO2H, CONH2, alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, FCH2, F2CH, F3C, F3CO; m = 0-2] were prepared for use as glycogen phosphorylase inhibitors in treatment

L3 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia, hyperglucagonemia, cardiac ischemia, and obesity. Thus, I [R1 = CH2CH2OH, R2 = Ph, R3 = 5-Cl] was prepd. by amidating N-[(5-chloro-1H-indol-2-yl)carbonyl]glycine with PhNHCH2CH2OH and has IC50 0.55 μM for inhibition of glycogen phosphorylase.

IT **599177-73-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-carbamoylmethylindolecarboxamides as glycogen phosphorylase inhibitors)

RN 599177-73-8 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)phenylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



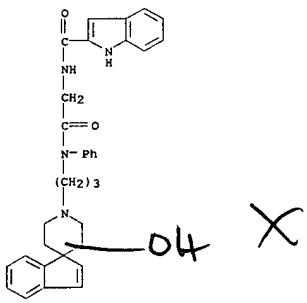
C07D 209/30
548/492
514/419
A61K 31/404

L3 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
claimed. In an in vitro test for affinity for the nociceptin receptor, N-[3-(1H-indene-1-spiro-4'-piperidin-1'-yl)propyl]-1-methyl-5-oxo-N-phenyl-3-pyrrolidinecarboxamide fumarate at 1 μM gave 95% binding inhibition. Formulations are given.

IT **407633-18-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro compds. as nociceptin receptor binders)

RN 407633-18-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-oxo-2-[phenyl(3-spiro[1H-indene-1,4'-piperidin]-1'-ylpropyl)amino]ethyl]- (9CI) (CA INDEX NAME)



04 X

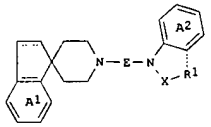
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:256237 CAPLUS
DOCUMENT NUMBER: 136:294733
TITLE: Preparation of spiro compounds as nociceptin receptor binders
INVENTOR(S): Arai, Toshimitsu; Nishikimi, Yuji; Imamura, Shinichi; Kamiyama, Keiji; Kobayashi, Makoto
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026714	A1	20020404	WO 2001-JP8281	20010925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001088110	A5	20020408	AU 2001-88110	20010925
JP 2002173485	A2	20020621	JP 2001-291794	20010925
PRIORITY APPLN. INFO.:			JP 2000-293876 A	20000927
			WO 2001-JP8281 W	20010925

OTHER SOURCE(S): MARPAT 136:294733
GI



I

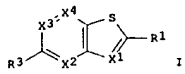
AB The title compds. I [A1 and A2 are each an optionally substituted benzene ring; E is a divalent chain hydrocarbon group which may be substituted; X is CO or the like; R1 is an optionally substituted hydrocarbon group or the like, or alternatively R1 may be bonded to a ring-constituting carbon atom of A2 to form a fused ring; and the dotted line represents a single or double bond; a proviso is given] are prepared Processes for preparing I are

L3 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:761907 CAPLUS
DOCUMENT NUMBER: 128:48218
TITLE: Preparation of benzyloxybenzothiazoles and related compounds as bradykinin antagonists.
INVENTOR(S): Wagner, Adalbert; Heitsch, Holger; Nolken, Gerhard; Wirth, Klaus; Scholkens, Bernward
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 808838	A1	19971126	EP 1997-107623	19970509
EP 808838	B1	20031022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
DE 19620508	A1	19971127	DE 1996-19620508	19960522
AT 252567	E	20031115	AT 1997-107623	19970509
ES 2205086	T3	20040501	ES 1997-107623	19970509
US 5834500	A	19981110	US 1997-858077	19970516
AU 9723510	A1	19971127	AU 1997-23510	19970520
CN 1169992	A	19980114	CN 1997-113120	19970520
CA 2205785	AA	19971122	CA 1997-2205785	19970521
NO 9702312	A	19971124	NO 1997-2312	19970521
ZA 9704416	A	19971124	ZA 1997-4416	19970521
JP 10067762	A2	19980310	JP 1997-131161	19970521
BR 9703370	A	19980922	BR 1997-3370	19970522
PRIORITY APPLN. INFO.:			DE 1996-19620508 A	19960522

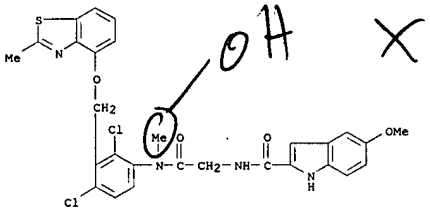
OTHER SOURCE(S): MARPAT 128:48218
GI



I

AB Title compds. [I; 1 of X1, X2, X3 = COR2, the other of X1, X2, X3, X4 = N, CR1; R1, R3 = H, halo, alkyl, OR6, SR6, NHR6, aryl, cyano, NO2, etc.; R2 = (substituted) 3-[R10AN(R6)]C6H4CH2; R6 = H, alkyl, alkenyl, aralkyl, cycloalkyl, cycloalkylalkyl, etc.; A = amino acid residue; R10 = H, acyl], were prepared Thus, trans-4-trifluoromethylcinnamoyl chloride (preparation given) and 4-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-methylbenzothiazole were stirred in CH2Cl2 to give 4-[3-(N-4-trifluoromethylcinnamoylglycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-methylbenzothiazole. The latter showed antagonistic activity at the guinea pig B2 receptor with IC50 <10-7 M.

L3 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT **199849-55-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzyloxybenzothiazoles and related compds. as bradykinin
antagonists)
RN 199849-55-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[[2,4-dichloro-3-[[(2-methyl-4-benzothiazolyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-5-methoxy- (9CI)
(CA INDEX NAME)



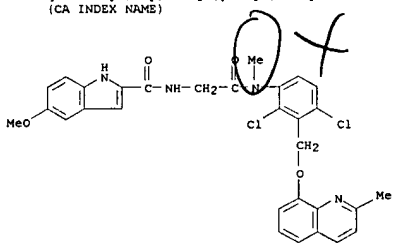
L3 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:761872 CAPLUS
DOCUMENT NUMBER: 128:30416
TITLE: Use of nonpeptide bradykinin antagonists for treating and preventing chronic fibrogenetic liver diseases, acute liver diseases and complications thereof
INVENTOR(S): Heitsch, Holger; Wagner, Adalbert; Wirth, Klaus; Hropot, Max; Bickel, Martin
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 808628	A2	19971126	EP 1997-108096	19970520
EP 808628	A3	19980114		
EP 808628	B1	20000202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
DE 19620509	A1	19971127	DE 1996-19620509	19960522
DE 19632042	A1	19980212	DE 1996-19632042	19960808
DE 19639303	A1	19980326	DE 1996-19639303	19960925
US 5786365	A	19980728	US 1997-858550	19970519
AU 9723511	A1	19971127	AU 1997-23511	19970520
AT 189389	E	20000215	AT 1997-108096	19970520
PT 808628	T	20000531	PT 1997-108096	19970520
ES 2144291	T3	20000601	ES 1997-108096	19970520
NO 9702311	A	19971124	NO 1997-2311	19970521
ZA 9704415	A	19971124	ZA 1997-4415	19970521
JP 10045624	A2	19980217	JP 1997-131160	19970521
CN 1176102	A	19980318	CN 1997-113108	19970521
CA 2205780	AA	19971122	CA 1997-2205780	19970522
BR 9703367	A	19980915	BR 1997-3367	19970522
GR 3033048	T3	20000831	GR 2000-400735	20000324

PRIORITY APPLN. INFO.: DE 1996-19620509 A 19960522
DE 1996-19632042 A 19960808
DE 1996-19639303 A 19960925
US 1997-858550 A 19970519

AB Forty-five heterocyclic compds. are pictured which act as bradykinin antagonists and which can be used in the title syndromes (e.g., liver cirrhosis and liver fibrosis).
IT **199791-57-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liver diseases treatment by)
RN 199791-57-6 CAPLUS

L3 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-5-methoxy- (9CI)
(CA INDEX NAME)



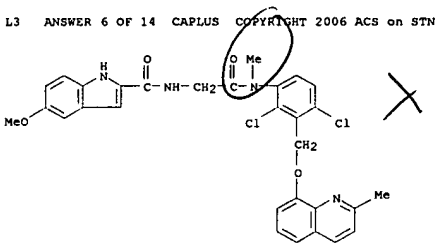
L3 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:761871 CAPLUS
DOCUMENT NUMBER: 128:30415
TITLE: Use of nonpeptide bradykinin antagonists for treating and preventing chronic fibrogenetic liver diseases, acute liver diseases and complications thereof
INVENTOR(S): Heitsch, Holger; Wagner, Adalbert; Wirth, Klaus; Hropot, Max; Bickel, Martin
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 808627	A2	19971126	EP 1997-107624	19970509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
DE 19620509	A1	19971127	DE 1996-19620509	19960522
DE 19632042	A1	19980212	DE 1996-19632042	19960808
DE 19639303	A1	19980326	DE 1996-19639303	19960925
US 5786365	A	19980728	US 1997-858550	19970519
AU 9723511	A1	19971127	AU 1997-23511	19970520
AT 189389	E	20000215	AT 1997-108096	19970520
PT 808628	T	20000531	PT 1997-108096	19970520
ES 2144291	T3	20000601	ES 1997-108096	19970520
NO 9702311	A	19971124	NO 1997-2311	19970521
ZA 9704415	A	19971124	ZA 1997-4415	19970521
JP 10045624	A2	19980217	JP 1997-131160	19970521
CN 1176102	A	19980318	CN 1997-113108	19970521
CA 2205780	AA	19971122	CA 1997-2205780	19970522
BR 9703367	A	19980915	BR 1997-3367	19970522
GR 3033048	T3	20000831	GR 2000-400735	20000324

PRIORITY APPLN. INFO.: DE 1996-19620509 A 19960522
DE 1996-19632042 A 19960808
DE 1996-19639303 A 19960925
US 1997-858550 A 19970519

AB Forty-five heterocyclic compds. are pictured which act as bradykinin antagonists and which can be used in the title syndromes (e.g., liver cirrhosis and liver fibrosis).
IT **199791-57-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liver diseases treatment by)
RN 199791-57-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-5-methoxy- (9CI)
(CA INDEX NAME)

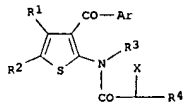
L3 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L3 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:425297 CAPLUS
DOCUMENT NUMBER: 127:50534
TITLE: Preparation of thienylamide derivatives as cholecystokinin inhibitors
INVENTOR(S): Sato, Hideaki; Morimoto, Koji; Sueoka, Hiroyuki; Asano, Kiyoshi; Kitajima, Masahiro
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

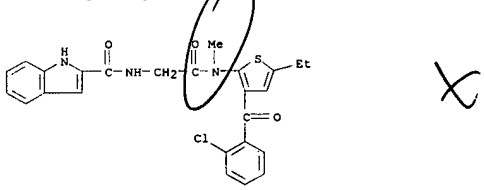
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09151183	A2	19970610	JP 1995-314455	19951201
PRIORITY APPLN. INFO.:			JP 1995-314455	19951201

OTHER SOURCE(S): MARPAT 127:50534
GI

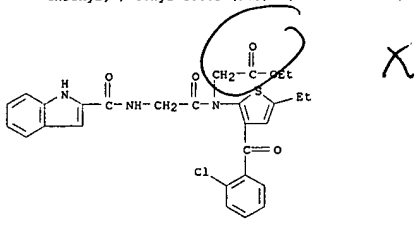


AB The title compds. [I; R1 = H, halo, C1-5 alkyl; R2 = H, halo, (un)substituted C1-5 alkyl, cyano, etc.; R3, R4 = H, C1-5 alkyl, etc.; X = YZ; Y = NHCO, NHCONH, etc.; Z = aryl, heteroaryl, etc.; Ar = (un)substituted Ph] are prepared I, possessing pancreas enzyme and stomach acid secretion inhibitory activity, are useful for prevention and treatment of digestive system diseases such as pancreatitis and pancreas cancer. Thus, I.HBr (R1 = R4 = H, R2 = Et, R3 = Me, Ar = o-ClC6H4, X = NH2) (preparation given) was reacted with indole-2-carboxylic chloride in the presence of Et3N to give the title compound I (R1 = R4 = H, R2 = Et, R3 = Me, Ar = o-ClC6H4, X = YZ, Y = NHCO, Z = 2-indole), which showed IC50 of 0.26 nM against cholecystokinin-A receptor when tested with rat pancreas in vitro.
IT **190968-51-5P 190968-75-3P 190968-80-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienylamide derivs. as cholecystokinin inhibitors)
RN 190968-51-5 CAPLUS

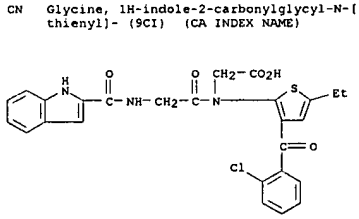
L3 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-[2-[[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 190968-75-3 CAPLUS
CN Glycine, 1H-indole-2-carbonylglycyl-N-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 190968-80-0 CAPLUS
CN Glycine, 1H-indole-2-carbonylglycyl-N-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]- (9CI) (CA INDEX NAME)



closest ART

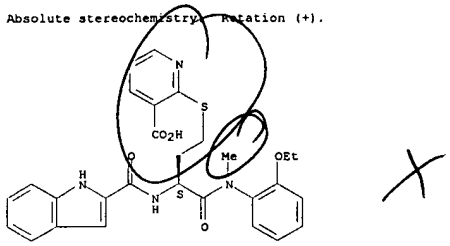
L3 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:672558 CAPLUS
DOCUMENT NUMBER: 125:329467
TITLE: Preparation of N-acyl-amino acid amide derivatives as cholecystokinin (CCK) antagonists
INVENTOR(S): Ogawa, Masashi; Morita, Tadashi; Matsuda, Sei; Iibuchi, Norihiro; Kidokoro, Shinpei
PATENT ASSIGNEE(S): Tobishi Pharmaceutical Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08217751	A2	19960827	JP 1995-52086	19950217
PRIORITY APPLN. INFO.:			JP 1995-52086	19950217

OTHER SOURCE(S): MARPAT 125:329467
AB R1R2NCOCH[(CH2)nR3]NHCOR4 [n = 1,2; R1 = H, C1-5 alkyl, methylbenzyl, ethylbenzyl, Ph(CH2)3, PhO(CH2)3; R2 = C1-5 alkoxyalkyl, C1-3 alkyl-benzyl, Ph(CH2)3, ethoxyphenyl, PhO(CH2)3, Ph2CHCH2CH2, methoxybenzhydryl, adamantyl, 10,11-dihydro-5H-dibenzo[a,d]cycloheptен-5-yl; R3 = carboxypyridylthio, carboxyoxazolyl, carboxymethyltetrazolylthio, CH2N3, CH2OH, CH2NH2; R4 = dichlorophenyl, indolyl], which are serine, aspartic acid, and glutamic acid derivs., show potent selective antagonistic inhibition for CCK receptor, and are useful for the treatment of pancreatic cancer, stomach ulcer, duodenal ulcer, peptic ulcer, colitis, loss of liver function, and cute pancreatitis, are prepared Thus, Z-Ser(THP)-OH (THP = 2-tetrahydropyranyl, Z = PhCH2O2C) was condensed with Me(CH2)4NH(CH2)3OMe using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in THF, followed by deprotection with a mixture of 1 N aqueous HCl and THF, to give Z-Ser-N[(CH2)4Me](CH2)3OMe. This compound was tosylated by p-toluenesulfonyl chloride in the presence of Et3N and 4-dimethylaminopyridine in CH2Cl2 to give R-Ser(R1)-N[(CH2)4Me](CH2)3OMe (I; R = Z, R1 = tosyl), which was condensed with 2-mercaptonicotinic acid in DMF in the presence of K2CO3 in DMF at 80° for 4 h, followed by methylation with di-Me sulfate at room temperature for 2 h, to give I (R = Z, R1 = 3-methoxycarbonyl-2-pyridyl). The latter compound was treated with 30% HBr in AcOH at room temperature for 20 min, followed by work-up, and condensed with indole-2-carboxylic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in CH2Cl2 to give I (R = 2-indolecarbonyl, R1 = 3-carboxy-2-pyridyl). The latter compound in vitro showed IC50 of 0.089 μM for inhibiting CCK-8-induced contraction of guinea pig's ileum. R-Ser(R1)-N(CH2C6H4Me-p)2 (R = 2-indolecarbonyl, R = 3-carboxy-2-pyridyl) in vitro showed IC50 of 0.012 and 23 μM for inhibiting the binding of [3H]-CCK-8 to CCK-A receptor of rat spleen cell membrane and CCK-B receptor of rat brain cell membrane, resp.

L3 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT **183061-94-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acyl-amino acid amide derivs. as cholecystokinin (CCK)
antagonists for treatment of diseases)
RN 183061-94-1 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-[[4-[(2-ethoxyphenyl)methylamino]-3-[(1H-indol-2-ylcarbonyl)amino]-4-oxobutyl]thio]-, (S)- (9CI) (CA INDEX NAME)

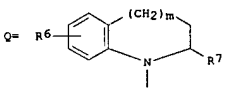
Absolute stereochemistry. Rotation (+).



L3 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:462231 CAPLUS
DOCUMENT NUMBER: 125:115153
TITLE: Preparation of (acylamino)acetamide derivatives with agonist activity for cholecystokinin-A receptors
INVENTOR(S): Dezube, Milana; Hirst, Gavin Charles; Willson, Timothy
Mark; Sherrill, Ronald George; Sugg, Elizabeth Ellen; Szewczyk, Jerzy Ryszard
PATENT ASSIGNEE(S): Glaxo Wellcome Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611940	A1	19960425	WO 1995-EP4026	19951012
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9538418	A1	19960506	AU 1995-38418	19951012
EP 785944	A1	19970730	EP 1995-936483	19951012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10511929	T2	19981117	JP 1995-512935	19951012
US 5889182	A	19990330	US 1997-817363	19970414
PRIORITY APPLN. INFO.:			GB 1994-20763 A	19941014
			WO 1995-EP4026 W	19951012

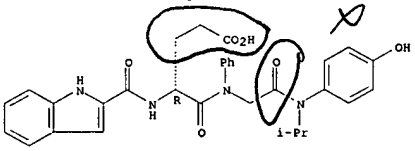
OTHER SOURCE(S): MARPAT 125:115153
GI



AB A cholecystokinin-A (CCK-A) agonist of the general formula R1R2NCOCH2NR3COR4 [R1 = C3-6 alkyl, C3-6 cycloalkyl, C3-6 alkenyl, Ph, (CH2)pCN, (CH2)pCO2(C1-4 alkyl); R2 = C3-6 alkyl, C3-6 cycloalkyl, C3-6 alkenyl, PhCH2, Ph or Ph mono- or disubstituted independently with C1-3

L3 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
alkyl, CN, OH, NMe2, O(C1-4 alkyl), OCH2Ph, NH(C1-4 alkyl), CO2(C1-4 alkyl), N(C1-4 alkyl)2, pyrrolidino, morpholino, halo, C1-3 alkyl substituted by 1 or more F; R1 = C1-2 alkyl, R2 = 2- or 4-C6H4R, R = Cl, Me, MeO, CO2Me; R1R2N = Q; R3 = C1-6 alkyl; Ph or Ph substituted by 1 or 2
C1-3 alkyl, C1-4 alkoxy or halo groups, thiophenyl; R4 = CR6R9(CH2)n(NH)p(CO)q(NH)rR5, CH2N(CHR16R17)CO(NR)rR5; R5 = C1-6 alkyl, C3-8 cycloalkyl, Ph, mono- or disubstituted Ph, optionally substituted heteroaryl or bicycloheteroaryl; R6 = H, optionally substituted C1-3 alkyl; R7 = H, Me; R8 = H, OH, F, NMe2, C1-4 alkoxy, PhCH2O; R9 = H, C1-6 alkyl; R16 = C1-6 alkyl, C3-8 cycloalkyl, optionally halo substituted Ph, pyridyl, pyrimidinyl, thiophenyl; R17 together with R3 form o-disubstituted Ph ring optionally substituted with halo, CF3, C1-3 alkyl, C1-4 alkylthio, of C1-4 alkoxy; m = 0-2; n = 0-3; p = 0, 1; q = 0, 1; r = 0, 1] and physiol. acceptable salts thereof. Thus, ureidodipeptide amide PhNHCO-D-Glu-N(Ph)CH2CON(CHMe2)C6H4OMe-4, prepd. in 4 steps from Boc-D-Glu(OCMe3)-OH, PhNH2, and BrCH2CON(CHMe2)C6H4OMe-4, was 55% as active as sulfated CCK-8 in a guinea pig gall bladder assay.
IT **179082-62-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)
RN 179082-62-3 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-α-glutamyl-N-(4-hydroxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

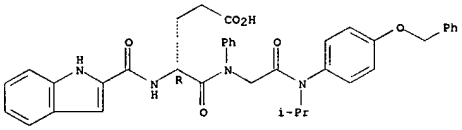
Absolute stereochemistry.



IT **179083-27-3P 179083-40-0P 179083-45-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)
RN 179083-27-3 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-α-glutamyl-N-(1-methylethyl)-N2-phenyl-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

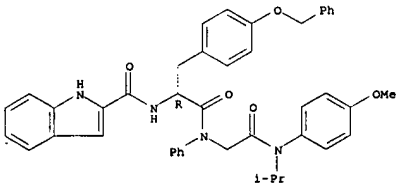
Absolute stereochemistry.

L3 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



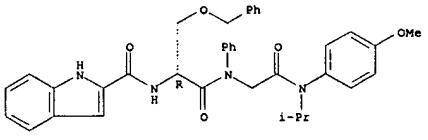
RN 179083-40-0 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-O-(phenylmethyl)-D-tyrosyl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



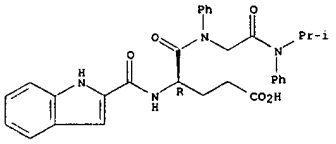
RN 179083-45-5 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-O-(phenylmethyl)-D-seryl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

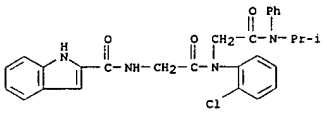


IT **179082-64-5P 179082-69-0P 179082-75-8P 179082-77-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)
RN 179082-64-5 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-α-glutamyl-N-(1-methylethyl)-N,N2-diphenyl- (9CI) (CA INDEX NAME)

L3 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.

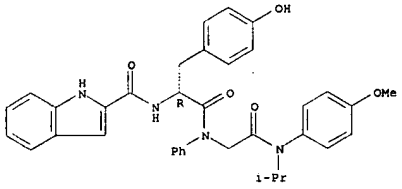


RN 179082-69-0 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)glycyl-N2-(2-chlorophenyl)-N-(1-methylethyl)-N-phenyl- (9CI) (CA INDEX NAME)



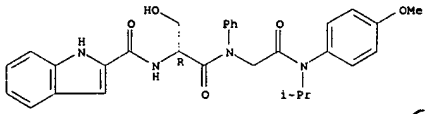
RN 179082-75-8 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-tyrosyl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179082-77-0 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-seryl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

L3 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



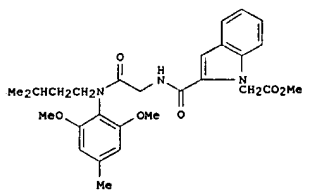
L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:298392 CAPLUS
DOCUMENT NUMBER: 124:343106
TITLE: Preparation of N-aryl-Nα-(indolylcarbonyl)glycineamides and analogs as cholecystokinin receptor agonists
INVENTOR(S): Bras, Jean-Pierre; De Cointet, Paul; Despeyroux, Pierre; Frehel, Daniel; Gully, Danielle; Maffrand, Jean-Pierre; Bignon, Eric
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 697403	A1	19960221	EP 1995-401912	19950818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2723739	A1	19960223	FR 1994-10165	19940819
FR 2723739	B1	19970214		
IL 114925	A1	19991231	IL 1995-114925	19950814
US 5731340	A	19980324	US 1995-515640	19950816
CA 2156455	AA	19960220	CA 1995-2156455	19950818
CA 2156455	C	20001107		
FI 9503898	A	19960220	FI 1995-3898	19950818
NO 9503260	A	19960220	NO 1995-3260	19950818
AU 9530146	A1	19960229	AU 1995-30146	19950818
AU 699581	B2	19981210		
ZA 9506915	A	19960325	ZA 1995-6915	19950818
JP 08119923	A2	19960514	JP 1995-210481	19950818
HU 72743	A2	19960528	HU 1995-2443	19950818
CN 1131144	A	19960918	CN 1995-116378	19950818
RU 2130923	C1	19990527	RU 1995-113885	19950818
KR 190672	B1	19990601	KR 1995-25817	19950819

PRIORITY APPLN. INFO.: FR 1994-10165 A 19940819

OTHER SOURCE(S): MARPAT 124:343106
GI



II

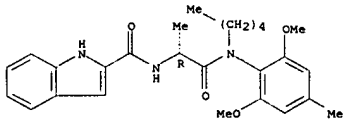
L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB R1NRCOCHR2NHCOR3 [I; R = substituted 2-(MeO)C6H4, -2-methoxy-3-pyridyl, -4-methoxy-5-pyrimidinyl, naphthyl; R1 = (ar)alkyl, cycloalkyl(alkyl), alkoxyalkyl, (CH2)1-3COR4, etc.; R2 = H, (un)substituted alkyl; R3 = naphthyl, quinolyl, indolyl, etc.; R4 = pyrrolidino, piperidino, morpholino] were prepared as CCK-A receptor agonists. Thus, Me2CHCH2CH2COCl was amidated by 2,6-dimethoxy-4-methylaniline and the reduced product amidated by Me3CO2CNHCH2CO2H to give, after deprotection, N-(2,6-dimethoxy-4-methylphenyl)-N-isopentylglycineamide which was amidated by N-(methoxycarbonylmethyl)indole-2-carboxylic acid to give title compound II. Selected I had ED50 of 1mg/kg i.p. for blockage of gastric emptying in mice.

IT 176526-29-7P 176526-34-4P 176526-40-2P
176526-41-3P 176526-42-4P 176526-43-5P
176526-44-6P 176526-45-7P 176526-46-8P
176526-47-9P 176526-48-0P 176526-49-1P
176526-50-4P 176526-51-5P 176526-73-1P
176526-75-3P 176526-79-7P 176526-81-1P
176526-85-5P 176526-88-8P 176526-92-4P
176526-93-5P 176526-99-1P 176527-02-9P
176527-09-6P 176527-12-1P 176527-14-3P
176527-17-6P 176527-20-1P 176527-22-3P
176527-25-6P 176527-29-0P 176527-33-6P
176527-34-7P 176527-36-9P 176527-38-1P
176527-41-6P 176527-45-0P 176527-67-6P
176527-70-1P 176527-75-6P 176527-82-5P
176527-86-9P 176528-11-3P 176528-12-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-aryl-Nα-(indolylcarbonyl)glycineamides and analogs as cholecystokinin receptor agonists)

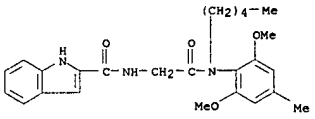
RN 176526-29-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-1-methyl-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



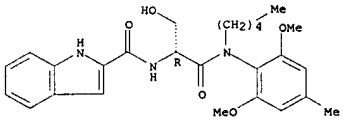
RN 176526-34-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



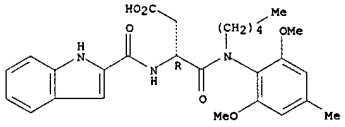
RN 176526-40-2 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
1-(hydroxymethyl)-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176526-41-3 CAPLUS
CN Butanoic acid,
4-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-3-[(1H-indol-
2-ylcarbonyl)amino]-4-oxo-, (R)- (9CI) (CA INDEX NAME)

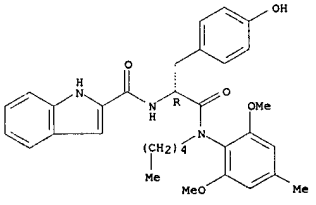
Absolute stereochemistry. Rotation (-).



RN 176526-42-4 CAPLUS
CN Pentanoic acid,
5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-
2-ylcarbonyl)amino]-5-oxo-, (R)- (9CI) (CA INDEX NAME)

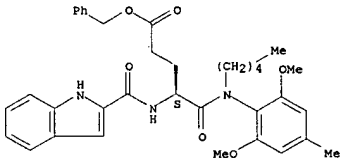
Absolute stereochemistry. Rotation (-).

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



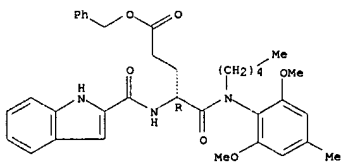
RN 176526-46-8 CAPLUS
CN Pentanoic acid,
5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-
2-ylcarbonyl)amino]-5-oxo-, phenylmethyl ester, (S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (+).

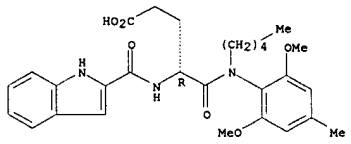


RN 176526-47-9 CAPLUS
CN Pentanoic acid,
5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-
2-ylcarbonyl)amino]-5-oxo-, phenylmethyl ester, (R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (-).

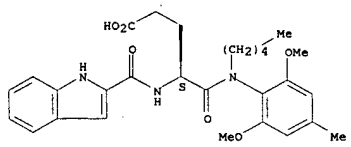


L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



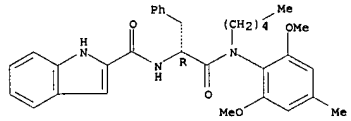
RN 176526-43-5 CAPLUS
CN Pentanoic acid,
5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-
2-ylcarbonyl)amino]-5-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 176526-44-6 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-(phenylmethyl)ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



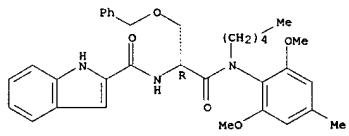
RN 176526-45-7 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

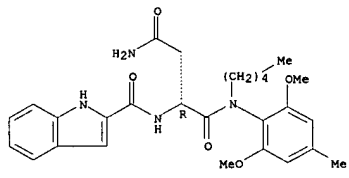
RN 176526-48-0 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



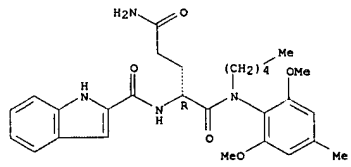
RN 176526-49-1 CAPLUS
CN Butanediamide, N1-(2,6-dimethoxy-4-methylphenyl)-2-[(1H-indol-2-
ylcarbonyl)amino]-N1-pentyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 176526-50-4 CAPLUS
CN Pentanediamide, N1-(2,6-dimethoxy-4-methylphenyl)-2-[(1H-indol-2-
ylcarbonyl)amino]-N1-pentyl-, (R)- (9CI) (CA INDEX NAME)

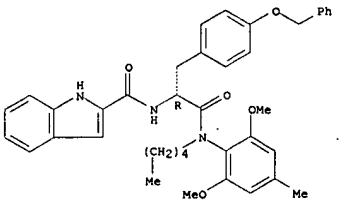
Absolute stereochemistry. Rotation (-).



RN 176526-51-5 CAPLUS
CN 1H-Indole-2-carboxamide,
4-methylphenyl)pentylamino]-

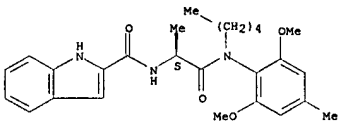
L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 176526-73-1 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
1-methyl-2-oxoethyl]-, (S)- (9CI) (CA INDEX NAME)

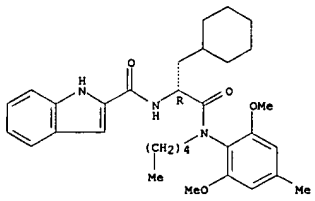
Absolute stereochemistry. Rotation (+).



RN 176526-75-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-(cyclohexylmethyl)-2-[(2,6-dimethoxy-4-
methylphenyl)pentylamino]-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

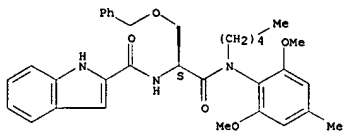
Absolute stereochemistry. Rotation (-).

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



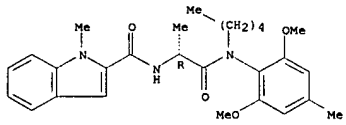
RN 176526-79-7 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



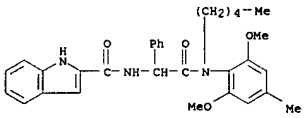
RN 176526-81-1 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
1-methyl-2-oxoethyl]-1-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



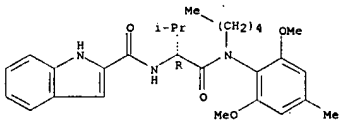
RN 176526-85-5 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



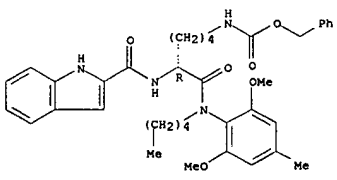
RN 176526-88-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[[(2,6-dimethoxy-4-
methylphenyl)pentylamino]carbonyl]-2-methylpropyl]-, (R)- (9CI) (CA
INDEX
NAME)

Absolute stereochemistry. Rotation (-).



RN 176526-92-4 CAPLUS
CN Carbamic acid,
[6-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-5-[(1H-indol-
2-ylcarbonyl)amino]-6-oxohexyl]-, phenylmethyl ester, (R)- (9CI) (CA
INDEX NAME)

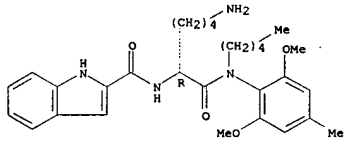
Absolute stereochemistry. Rotation (-).



RN 176526-93-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[5-amino-1-[[(2,6-dimethoxy-4-
methylphenyl)pentylamino]carbonyl]pentyl]-, (R)- (9CI) (CA INDEX NAME)

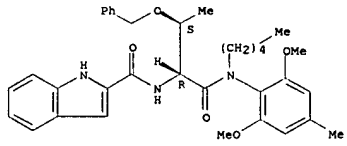
Absolute stereochemistry. Rotation (-).

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



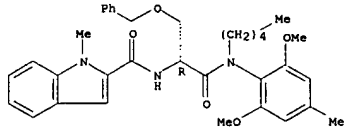
RN 176526-99-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[[(2,6-dimethoxy-4-
methylphenyl)pentylamino]carbonyl]-2-(phenylmethoxy)propyl]-,
[S-(R*,S*)]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



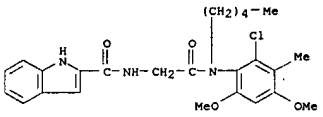
RN 176527-02-9 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[(phenylmethoxy)methyl]ethyl]-1-methyl-, (R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (-).

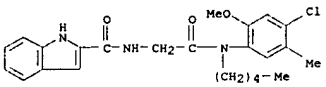


RN 176527-09-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-chloro-4,6-dimethoxy-3-
methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

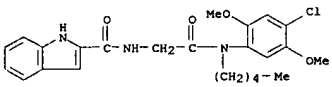
L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



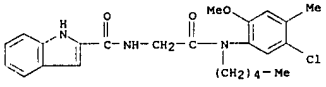
RN 176527-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(4-chloro-2-methoxy-5-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 176527-14-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(4-chloro-2,5-dimethoxyphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

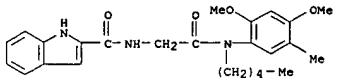


RN 176527-17-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(5-chloro-2-methoxy-4-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

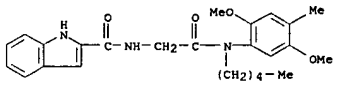


RN 176527-20-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,4-dimethoxy-5-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

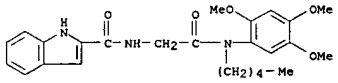
L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



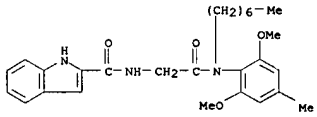
RN 176527-22-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,5-dimethoxy-4-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 176527-25-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-oxo-2-[pentyl(2,4,5-trimethoxyphenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

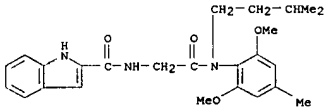


RN 176527-29-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)heptylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

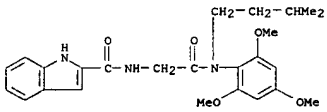


RN 176527-33-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(3-

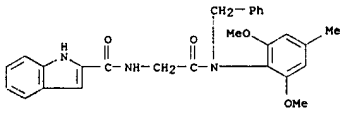
L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
methylbutyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



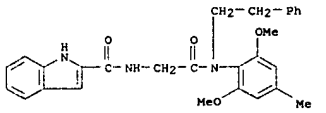
RN 176527-34-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(3-methylbutyl)(2,4,6-trimethoxyphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 176527-36-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(phenylmethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

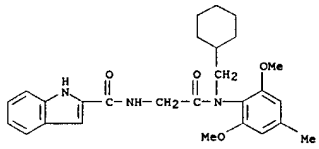


RN 176527-38-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(2-phenylethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

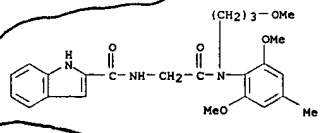


RN 176527-41-6 CAPLUS

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-[2-[(cyclohexylmethyl)(2,6-dimethoxy-4-methylphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 176527-45-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

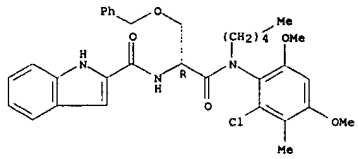


H for Me?

Closest Art

RN 176527-67-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-chloro-4,6-dimethoxy-3-methylphenyl)pentylamino)-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

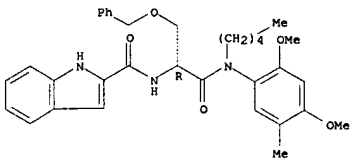
Absolute stereochemistry. Rotation (-).



RN 176527-70-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,4-dimethoxy-5-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

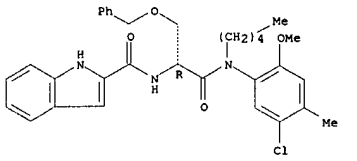
Absolute stereochemistry. Rotation (-).

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



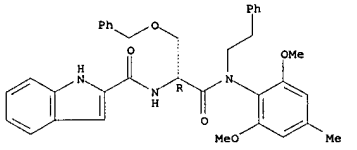
RN 176527-75-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(5-chloro-2-methoxy-4-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176527-82-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(2-phenylethyl)amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA
INDEX NAME)

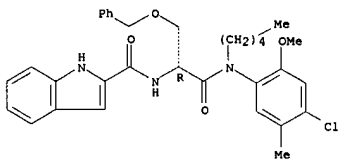
Absolute stereochemistry. Rotation (-).



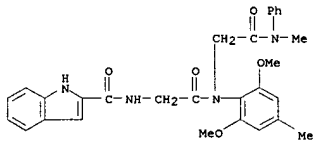
RN 176527-86-9 CAPLUS

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-[2-[(4-chloro-2-methoxy-5-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)-(9CI) (CA INDEX NAME)

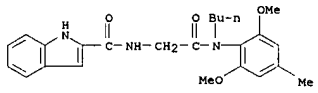
Absolute stereochemistry. Rotation (-).



RN 176528-11-3 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)glycyl-N2-(2,6-dimethoxy-4-methylphenyl)-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 176528-12-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[butyl(2,6-dimethoxy-4-methylphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

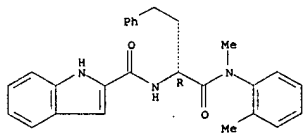


L3 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:256040 CAPLUS
DOCUMENT NUMBER: 116:256040
TITLE: Preparation of amino acid derivatives as digestive tract hormone antagonists
INVENTOR(S): Tsushima, Tadahiko; Ishihara, Teruichi; Hagishita, Yamaji; Seno, Kaoru; Ihii, Nobuhiro
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 46 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03294253	A2	19911225	JP 1990-96661	19900412
PRIORITY APPLN. INFO.:			JP 1990-96661	19900412

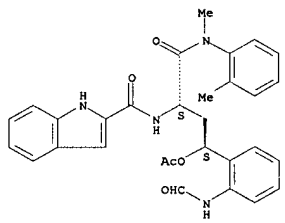
OTHER SOURCE(S): MARPAT 116:256040
GI For diagram(s), see printed CA Issue.
AB R1Z(CH2)nCH(CONR3R4)NHC(:X)YR2 [I; R1 = CO2H, CONH2, cyano, tetrazolyl, (un)substituted aryl; R2 = (un)substituted aryl; R3, R4 = H, alkyl, (un)substituted aryl; n = 0-2; X = O, S; Y = single bond, NH; Z = CAH, CO;
A = H, halo, OH; provided that when A = H, R1 = aryl or R1 = tetrazolyl and R2 = aryl], which are antagonists of cholecystokinin (CCK) or gastrin receptors, are prepared Thus, carbamoylation of (R)-R5-Asp-N[(CH2)4Me]2 (II; R5 = H).HCl with m-MeC6H4NCO in the presence of Et3N in CH2Cl2 gave 65.2% II (R5 = m-MeC6H4NHCO). Title compound (III) in vitro inhibited the
binding of [3H]-CCK-8 to CCK-A and CCK-B receptors of a mouse spleen and brain, resp., with IC50 of 200 and 43,000, resp. Approx. 130 I were prepared and addnl. 46 I were similarly tested.
IT **141470-25-9P 141470-45-3P 141470-60-2P**
141470-66-8P 141470-69-1P 141483-77-4P
141491-71-6P 141491-72-7P 141491-86-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as cholecystokinin and gastrin antagonist)
RN 141470-25-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[[methyl(2-methylphenyl)amino]carbonyl]-3-phenylpropyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



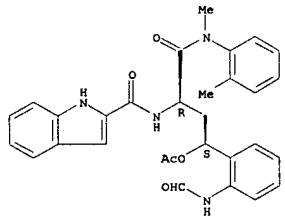
L3 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 141470-45-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141470-60-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

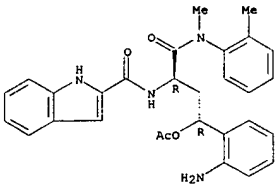
Absolute stereochemistry.



RN 141470-66-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-(2-aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

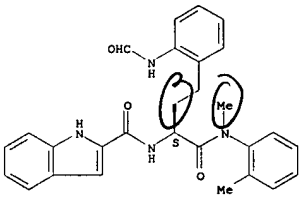
Absolute stereochemistry.

L3 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141470-69-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, (S)- (9CI) (CA INDEX NAME)

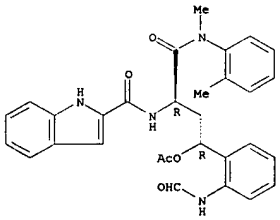
Absolute stereochemistry.



RN 141483-77-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-(2-aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

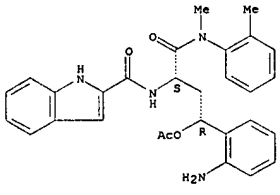
Absolute stereochemistry.

L3 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

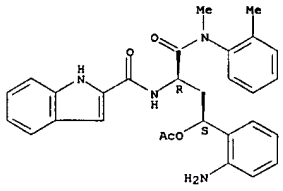


RN 141491-86-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-(2-aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

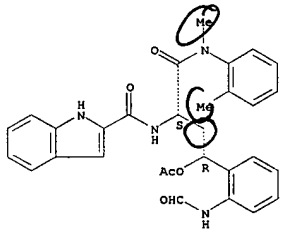


L3 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141491-71-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141491-72-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:214907 CAPLUS
DOCUMENT NUMBER: 116:214907
TITLE: Preparation of N-acetyl-N-phenylglycinanides as drugs
INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude; Guyon, Claude; Manfre, Franco; Roussel, Gerard
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer SA, Fr.
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

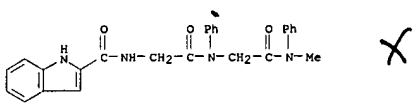
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9112264	A1	19910822	WO 1991-FR87	19910206
W: AU, CA, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
FR 2658196	A1	19910816	FR 1990-1553	19900209
FR 2658196	B1	19920424		
FR 2667319	A2	19920403	FR 1990-11916	19900927
FR 2667319	B2	19921120		
FR 2667863	A2	19920417	FR 1990-12594	19901012
FR 2667863	B2	19921127		
CA 2072981	AA	19910810	CA 1991-2072981	19910206
AU 9173295	A1	19910903	AU 1991-73295	19910206
AU 639081	B2	19930715		
EP 514442	A1	19921125	EP 1991-903956	19910206
EP 514442	B1	19940427		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 61575	A2	19930128	HU 1992-2585	19910206
JP 05506643	T2	19930930	JP 1991-504069	19910206
AT 104989	E	19940515	AT 1991-903956	19910206
ES 2052372	T3	19940701	ES 1991-903956	19910206
ZA 9100946	A	19911127	ZA 1991-946	19910208
US 5382590	A	19950117	US 1992-867690	19920708
NO 9203079	A	19920805	NO 1992-3079	19920805

PRIORITY APPLN. INFO.:

FR 1990-1553	A	19900209
FR 1990-11916	A	19900927
FR 1990-12594	A	19901012
EP 1991-903956	A	19910206
WO 1991-FR87	A	19910206

OTHER SOURCE(S): MARPAT 116:214907
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1 = H, alkyl, alkoxycarbonyl, (substituted) phenyl; R2 = H, (substituted) alkyl; R3 = alkyl, phenylalkyl, indanyl, cycloalkylalkyl, (substituted) Ph, quinolinyl; or R2R3N = heterocyclyl; R4 = (substituted) Ph, (substituted) phenylamino, etc.], having affinity for the cholecystokinin and the gastrin receptors and thus useful as their inhibitors, are prepared Hydrazinolysis of PhNHCOCH2NPhCOCH2Q [Q = phthalimido] (preparation given) gave PhNHCOCH2NPhCOCH2NH2, which in THF was

L3 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
reacted with 3-MeC6H4NCO at ca. 25° for 12 h to give title compd. I [R1 = R2 = H, R3 = Ph, R4 = 3-MeC6H4NH]. The IC50 values of I against CCK were generally ≤1000 nM. Some pharmaceutical dosage forms contg. I were formulated.
IT **138561-81-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antagonist of CCK and gastrin)
RN 138561-81-6 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)glycyl-N-methyl-N,N2-diphenyl-(9CI)
(CA INDEX NAME)

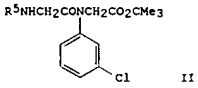


L3 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:106815 CAPLUS
DOCUMENT NUMBER: 116:106815
TITLE: Preparation of derivatives of N-phenylglycinamide as CCK and gastrin antagonists.
INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude; Guyon, Claude; Manfre, Franco; Roussel, Gerard
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer SA, Fr.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9113907	A1	19910919	WO 1991-FR174	19910305
W: AU, CA, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
FR 2659334	A1	19910913	FR 1990-2889	19900307
FR 2659334	B1	19920515		
FR 2667864	A2	19920417	FR 1990-12727	19901016
FR 2667864	B2	19940805		
AU 9174920	A1	19911010	AU 1991-74920	19910305
AU 635832	B2	19930401		
EP 518960	A1	19921223	EP 1991-905832	19910305
EP 518960	B1	19940914		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 61576	A2	19930128	HU 1992-2865	19910305
JP 05504967	T2	19930729	JP 1991-505781	19910305
ES 2059128	T3	19941101	ES 1991-905832	19910305
RU 2076108	C1	19970327	RU 1991-5053153	19910305
ZA 9101637	A	19911224	ZA 1991-1637	19910306
IL 97476	A1	19960723	IL 1991-97476	19910307
NO 9203456	A	19920904	NO 1992-3456	19920904
US 5475106	A	19951212	US 1992-924065	19921008

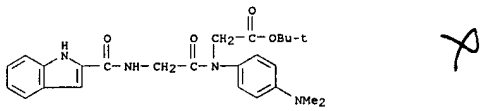
PRIORITY APPLN. INFO.: FR 1990-2889 A 19900307
FR 1990-12727 A 19901016
WO 1991-FR174 A 19910305

OTHER SOURCE(S): MARPAT 116:106815
GI



L3 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB R2COCHR1NR4COCH2NHCOR3 [I; R1 = H, alkyl, alkoxycarboyl, (substituted) phenyl; R2 = alkoxy, (substituted) cycloalkoxy, cycloalkylalkoxy, phenylalkoxy, polyfluoroalkoxy, cinnamyloxy, (substituted) amino; R3 = (substituted) phenylamino, etc.; R4 = Ph substituted by a halogen, alkyl, alkoxy, etc.], useful as antagonists against CCK and gastrin (no data), are prepared N-(Chlorophenyl)acetamide II [R5 = H] (preparation given) in THF was reacted with m-MeC6H4NCO at 20° to give II [R5 = m-MeC6H4NHCO]. Tablets, injections, etc., containing I were formulated.
IT **139088-22-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as CCK and gastrin antagonist)
RN 139088-22-5 CAPLUS
CN Glycine, N-[4-(dimethylamino)phenyl]-N-[N-(1H-indol-2-ylcarbonyl)glycyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:164819 CAPLUS
DOCUMENT NUMBER: 114:164819
TITLE: Preparation and formulation of ureidoalkanamides, peptides, and analogs as cholecystokinin receptor antagonists
INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude; Guyon, Claude; Manfre, Franco; Roussel, Gerard
PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 397556	A1	19901114	EP 1990-401218	19900509
EP 397556	B1	19931020		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2646847	A1	19901116	FR 1989-6250	19890512
FR 2646847	B1	19910712		
AT 96146	E	19931115	AT 1990-401218	19900509
ES 2060097	T3	19941116	ES 1990-401218	19900509
CA 2016439	AA	19901112	CA 1990-2016439	19900510
JP 03056453	A2	19910312	JP 1990-120182	19900511
US 5223529	A	19930629	US 1990-522137	19900511

PRIORITY APPLN. INFO.: FR 1989-6250 A 19890512
EP 1990-401218 A 19900509

OTHER SOURCE(S): CASREACT 114:164819; MARPAT 114:164819
AB R3CONH2CONR1Ph [I; R1 = CHR8CO2R4, CH2CONR5R6, phenylalkyl, (un)substituted Ph; R3 = 1- or 2-naphthyl, 2- or 3-indolyl, (un)substituted PhNH; R4 = H, (cyclo)alkyl, Ph, phenylalkyl, etc.; R5, R6 = alkyl; NR5R6 = (alkyl)pyrrolidino; R8 = H, alkyl, Ph; Z = CH2, CH2CH2, CHR7; R7 = alkyl, Ph, PhCH2, etc.] were prepared Thus, PhNH2 was condensed with BrCH2CO2CMe3 and the product condensed with ClCH2COCl to give ClCH2CONPhCO2CMe3 which was condensed with K phthalimide and the product hydrozinolized to give H2NCH2CONPhCH2CO2CMe3. The latter was condensed with 3-MeC6H4NHCONHCH2CONPhCH2CO2CMe3. I have IC50 ≤ 103 nM for cholecystokinin receptor binding.
IT **133115-11-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin receptor antagonist)
RN 133115-11-4 CAPLUS
CN Glycine, N-[N-(1H-indol-2-ylcarbonyl)glycyl]-N-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)